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Dated 15 November 2004

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The Patent Office

Cardiff Road
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1. Your reference

PPD 70335

2. Patent application number
(The Patent Office will fill in this part)

09 DEC 2003

0328528.5

3. Full name, address and postcode of the or of each applicant *(underline all surnames)*

SYNGENTA Limited
European Regional Centre
Priestley Road
Surrey Research Park, Guildford,
Surrey, GU2 7YH, United Kingdom

Patents ADP number *(if you know it)*

6254007002 08330748001

If the applicant is a corporate body, give the country/state of its incorporation

UNITED KINGDOM

4. Title of the invention

AGROCHEMICAL COMPOSITION

5. Name of your agent *(if you have one)*

"Address for service" in the United Kingdom to which all correspondence should be sent *(including the postcode)*

Michael James RICKS
Intellectual Property Department
Syngenta Limited
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UNITED KINGDOM

Patents ADP number *(if you know it)*

08029563001

6. If you are declaring priority from one or more earlier patent applications, give the country and the date of filing of the or of each of these earlier applications and *(if you know it)* the or each application number

Country	Priority application number *(if you know it)*	Date of filing *(day / month / year)*

7. If this application is divided or otherwise derived from an earlier UK application, give the number and the filing date of the earlier application

Number of earlier application	Date of filing *(day / month / year)*

8. Is a statement of inventorship and of right to grant of a patent required in support of this request? *(Answer 'Yes' if:*

a) any applicant named in part 3 is not an inventor, or

b) there is an inventor who is not named as an applicant, or

c) any named applicant is a corporate body.

See note (d))

YES

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Continuation sheets of this form	07
Description	01
Claim(s)	00
Abstract	00
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Priority documents	
Translations of priority documents	
Statement of inventorship and right to grant of a patent *(Patents Form 7/77)*	
Request for preliminary examination and search *(Patents Form 9/77)*	
Request for substantive examination *(Patents Form 10/77)*	
Any other documents *(please specify)*	

11. I/We request the grant of a patent on the basis of this application.
Syngenta Limited
Signature C. Dowling. Date 8th Dec '03
Authorised Signatory

12. Name and daytime telephone number of person to contact in the United Kingdom: Clare DOWLING = 01344 414834

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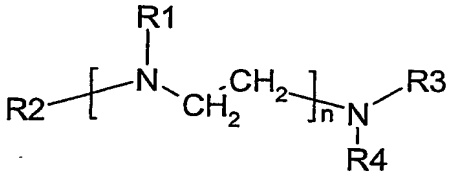
AGROCHEMICAL COMPOSITION

The present invention relates to an agrochemical composition and in particular to an aqueous composition comprising an agrochemical active ingredient and an adjuvant.

Agrochemical active ingredients are generally utilised in combination with an adjuvant, which is frequently a surfactant. Most commonly adjuvants are added to enhance the bioperformance of the active ingredient and many such bioperformance enhancing adjuvants are known to those skilled in the art.

Triethylenediamine (1,4-diazabicyclo[2.2.2]octane) or TEDA, is a known compound which is commercially available to industry and with principal application in catalysis. . TEDA is a strongly basic compound and may form salts with acidic or anionic species. We have now found that, surprisingly, TEDA or a salt thereof is an effective adjuvant for improving the bioefficacy of agrochemical active ingredients. This is particularly unexpected since TEDA has no surfactant properties. The mechanism by which TEDA acts to enhance the bioperformance of an agrochemical active ingredient is not presently known. Other amines are also known compounds and, like TEDA amines of the present invention have no significant surfactant properties.

According to the present invention there is provided an agrochemical composition comprising an agrochemical active ingredient and a compound of formula (I) or a salt thereof or triethylenediamine or a salt thereof



(I)

wherein n is an integer from 1 to 6 and R^1, R^2, R^3, and R^4 which may be the same or different are hydrogen or a C_1 to C_4 alkyl group.

It will be appreciated that TEDA is structurally related to the compounds of formula (I) in that >N-CH_2-CH_2- units are disposed in a bicyclic arrangement. The compounds of Formula (I) and TEDA will hereafter be collectively referred to as the amines of the present invention.

It is preferred that in formula (I) R^1, R^2, R^3, and R^4 are hydrogen or methyl. It is preferred that when n is greater than 1 R^1, R^2, R^3, and R^4 are hydrogen. Specific examples of compounds of formula (I) are N,N,N',N' tetramethylene diamine in which n is 1 and R^1, R^2, R^3, and R^4 are methyl and triethylene tetramine (TETA) in which n is 3 and R^1, R^2, R^3, and R^4 are hydrogen.

The amines of the present invention are basic compounds and if used in its basic form may be incompatible with base-sensitive agrochemicals such as paraquat as well as being a potential hazard to human exposure. It is preferred therefore that in normal use and in particular when used with base-sensitive agrochemicals, the amines of the present invention are neutralised in whole or part. The amines of the present invention may conveniently be neutralised by the addition of acid, for example a mineral acid such as a halide acid, for example hydrochloric acid or an organic acid such as acetic acid. The amines of the present invention may also however be neutralised by the addition of any suitable anionic acid species, including anionic surfactants as will be described in greater detail below. The term "a salt of the amines of the present invention" as used herein includes the amines of the present invention whether wholly or partially neutralised by an anionic species and does not necessarily imply the physical association of the amine cation and the anionic species in the composition. It will generally be convenient to neutralise or partially neutralise the amines of the present invention prior to incorporation in the composition of the invention.

The term agrochemical as used herein includes without limitation herbicides, insecticides, fungicides, plant growth regulators and seed treatment agents. It is preferred that the agrochemical composition is an aqueous composition and it is especially preferred that the agrochemical is a water-soluble agrochemical. The aqueous agrochemical composition will generally be applied to the target by spraying and the composition may be a concentrate which is designed to be diluted with water prior to application or may be ready for application. Specifically, the amines of the present invention or a salt thereof may be incorporated into the spray composition prior to application as a tank mix or may form a component of an agrochemical concentrate intended for dilution prior to use. It is a particular advantage of the salts of amines of the present invention they are readily soluble in water and are generally compatible with water-soluble agrochemicals. Salts of amines of the present

invention are thus particularly suitable to be "built-in" to a concentrate comprising a water-soluble active ingredient.

Suitable agrochemical active ingredients are known to those skilled in the art and are listed in standard reference works such the Pesticide Manual. As examples of suitable water-soluble active ingredients there may be mentioned paraquat, diquat, glyphosate, fomesafen, thiamethoxam, mesotrione, and trifloxysulfuron. By the term "water-soluble" agrochemical is meant an agrochemical having a solubility in water of at least 1 g/l and preferably at least 4 g/l, for example at least 100g/l. Of course many agrochemicals have a much higher solubility, for example 300 g/l or more or up to 500 or 600 g/l or more. Paraquat and diquat are particularly suitable agrochemical active ingredients

Thus according to a further aspect of the present invention there is disclosed an aqueous agrochemical composition comprising paraquat or diquat and a salt of TEDA or a salt of a compound of formula (I).

According to a still further aspect of the present invention there is disclosed an aqueous agrochemical concentrate composition comprising paraquat or diquat and a salt of TEDA or a salt of a compound of formula (I) wherein the concentration of the paraquat or diquat is greater than 100 g/l.

Typically the pH of the paraquat or diquat composition of the invention will be from 2.0 to 10.0 and preferably from 4.0 to 8.0. In general the pH of the amine is adjusted with acid approximately to that of the paraquat or diquat composition and those nitrogen atoms of the amine which are sufficiently basic become protonated.

The amines of the present invention when used as sole adjuvant may provide effective bioperformance enhancement. There may be advantages however in using the amines of the present invention in combination with a second adjuvant. The second adjuvant is preferably a surfactant. There is no particular limitation on the surfactant that may be used and numerous examples will occur to those skilled in the art. We have found that anionic, cationic, non-ionic or amphoteric surfactants may be effective.

As noted above the amines of the present invention may form a salt with an anionic surfactant or a surfactant having an acidic form. If desired, such a salt may be pre-formed by the reaction of the amines of the present invention with the anionic

surfactant, for example in aqueous solution, but there is no particular need for such pre-reaction.

The ratio by weight of the amines of the present invention to the surfactant may vary within wide limits, for example from 50:1 to 1:50, and in particular from 10:1 to 1:1 by weight. In some instances a small proportion of the amines of the present invention may have a surprisingly large effect in enhancing the bioefficacy of conventional surfactants. Thus for example a ratio of the amines of the present invention to the surfactant of from 1:1 down to 1:25 by weight, for example from about 1:4 to 1:15 may show significant enhancement of the bioefficacy of the surfactant.

The ratio by weight of the amines of the present invention to the agrochemical active ingredient is preferably from 1:10 to 10:1, for example from 1:4 to 1:1. When the amines of the present invention are used in combination with one or more additional adjuvants, for example additional surfactants, the ratio by weight of the total adjuvant (amine of the present invention plus additional surfactants) is preferably from 1:10 to 10:1, for example from 1:4 to 1:1. The composition may contain further additives conventional in the art.

The invention is illustrated by the following Examples in which all parts and percentages are by weight unless otherwise stated.

EXAMPLE 1

This Example illustrates the bioperformance enhancement of paraquat in the presence of TEDA. TEDA was first neutralised with hydrochloric acid to form the TEDA hydrochloride. An aqueous formulation of paraquat dichloride containing 0.5% by weight of TEDA based on total spray volume was applied to test species *Ipomoea hederacea* (IPOHE) and *Sida spinosa* (SIDSP) at 10 and 30 g /ha (based on paraquat ion). The spray volume was equivalent to 200 L/ha. The biological data (% activity) at 6 days after treatment is expressed in Table 1 as an average response over the combined rates and is compared with an equivalent formulation containing only paraquat chloride.

Table 1 - % Activity

	IPOHE	SIDSP
Composition of the Invention	66.5	54.5
Paraquat standard (no adjuvant)	37.0	38.5

EXAMPLE 2

The following compositions were compared using the general procedure of Example 1. TEDA was used in the form of its hydrochloride:

2.1 An aqueous formulation containing paraquat dichloride and 0.5% by weight of TEDA hydrochloride based on total spray volume;

2.2 An aqueous formulation containing paraquat dichloride and 0.5% by weight based on total spray volume of a mixture of TEDA hydrochloride and the surfactant EMPIGEN BB and TEDA at a ratio of TEDA to EMPIGEN BB of 5 : 2. EMPIGEN BB is lauryl betaine supplied at a concentration of 30%. The proportions given above and below are based on lauryl betaine content.

2.3 An aqueous formulation (comparison) containing paraquat dichloride and 0.5% by weight based on total spray volume of EMPIGEN BB

2.4 An aqueous formulation containing paraquat dichloride and 0.5% by weight based on total spray volume of a mixture of TEDA hydrochloride and the surfactant AGRIMUL PG2067 and TEDA at a ratio of TEDA to AGRIMUL PG2067 of 5 : 2. AGRIMUL PG2067 is an alkylpolyglycoside supplied at a concentration of 70%. The proportions given above and below are based on alkylpolyglycoside content.

2.5 An aqueous formulation (comparison) containing paraquat chloride and 0.5% by weight based on total spray volume of AGRIMUL PG2067.

Table 2 - % Activity

	IPOHE	SIDSP
No adjuvant	17.5	19.0
TEDA	64.4	35.8
TEDA : EMPIGEN BB	74.1	58.9
EMPIGEN BB	58.0	43.9
TEDA : AGRIMUL PG2067	60.0	45.8
AGRIMUL PG2067	13.4	19.9

It will be seen that the addition of TEDA significantly enhances the bioperformance effect obtained using the surfactants lauryl betaine and alkylpolyglycoside and also that it is effective on its own.

EXAMPLE 3

Salts of TEDA with anionic surfactants were prepared as follows:

3.1 TEDA (1g) was mixed with EMPICOL CVH (12.5g). No hydrochloric acid was added. EMPICOL CVH is a 90% solution of capryleth-9-carboxylic acid.

3.1 CRODAFOS T5A (11g) was added to 186.5g distilled water and TEDA (2.5g) was added to give a clear solution. No hydrochloric acid was added. CRODAFOS T5A is ethoxy (5) isotridecanol acid phosphate ester.

The compositions were evaluated at 0.5% by weight based on total spray volume using the procedure of Example 1 and were compared with corresponding compositions containing only TEDA hydrochloride at 0.5% by weight based on total spray volume and only the surfactant at 0.5% by weight based on total spray volume.

Table 3 % Activity

Composition	IPOHE	SIDSP
No adjuvant	17.7	33.1
TEDA hydrochloride	63.8	45.9
TEDA : EMPICOL CVH	47.9	50.9
EMPICOL CVH	38.8	43.1
TEDA : CRODAFOS T5A	47.5	49.1
CRODAFOS T5A	28.8	28.8

It will be seen that the addition of a relatively small proportion of TEDA to a conventional surfactant provides a highly significant increase in activity and that the TEDA is also effective on its own.

EXAMPLE 4

The bioperformance enhancement of paraquat in the presence of amines of the present invention was evaluated. The amines tested and the results are presented in Table 4. An aqueous formulation of paraquat dichloride containing 0.5% by weight of amine (based on the weight of the parent amine and based on total spray volume) was applied using a moving track sprayer to eight representative weed species at 10, 20 and 40 g /ha (based on paraquat ion). The spray volume was equivalent to 200 l/ha.

Three replicates of each test were undertaken and the biological data (% activity where 0% represents no herbicidal effect and 100% represents complete kill)

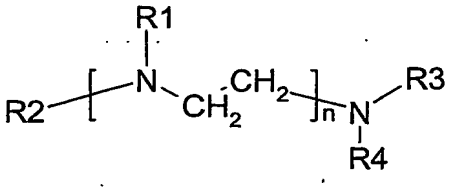
at 7 days after treatment is expressed in Table 4 as a mean over all species based on an average response over the combined rates. The results are compared with an equivalent formulation containing only paraquat chloride.

Table 4

Amine of the Present Invention	Mean Activity (%)
None	54
TEDA as HCl salt	61
TETA as HCl salt	60
N,N,N',N' tetramethylene diamine as HCl salt	59

CLAIMS

1. An agrochemical composition comprising an agrochemical active ingredient and a compound of formula (I) or a salt thereof or triethylenediamine or a salt thereof



(I)

wherein n is an integer from 1 to 6 and R^1, R^2, R^3, and R^4 which may be the same or different are hydrogen or a C_1 to C_4 alkyl group.

2. An aqueous agrochemical composition comprising paraquat or diquat and a salt of TEDA or a salt of a compound of formula (I).

3. An aqueous agrochemical concentrate composition comprising paraquat or diquat and a salt of TEDA or a salt of a compound of formula (I) wherein the concentration of the paraquat or diquat is greater than 100 g/l.